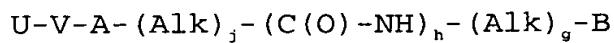


## WHAT IS CLAIMED IS:

1. A compound of the formula



5 or a pharmaceutically acceptable salt thereof, wherein  
g, h and j are each independently 0 or 1; provided when  
h is 0, then g is 0;

*para a 2*  
each Alk is independently a alkyl radical;

10 U represents amidino, guanidino,  $-(G\text{-alkyl})_k\text{-NH-R}_1$ ,  $-(G\text{-alkyl})_k\text{-NH-C(Q)-R}_1$ ,  $-(G\text{-alkyl})_k\text{-C(Q)-N(R)-R}_1$ ,  $-(G\text{-alkyl})_k\text{-NH-C(Q)-N(R)-R}_1$ ,  $-(G\text{-alkyl})_k\text{-NH-C(Q)-O-R}_1$  or  $-(G\text{-alkyl})_k\text{-O-C(Q)-N(R)-R}_1$  radical; or U represents a

15 hydroxyalkyl-G- radical which is optionally substituted by a cycloalkyl, aryl, heteroaryl or heterocyclyl,  
wherein the cycloalkyl, aryl, heteroaryl and  
heterocyclyl radicals are optionally substituted by 1-3  
radicals of R<sub>2</sub>;

20 wherein k is 0 or 1;

G represents a bond, O, S or NH;

25 Q represents O, S, NH, N-CN or N-alkyl;

R is a radical of hydrogen or alkyl;

30 R<sub>1</sub> is a radical of alkyl, haloalkyl, R<sub>21</sub>R<sub>22</sub>N-alkyl, R<sub>21</sub>O-alkyl, R<sub>21</sub>S-alkyl, cycloalkyl, cycloalkyl-alkyl, aryl, aryl-alkyl, heteroaryl, heteroaryl-alkyl, heterocyclyl or heterocyclyl-alkyl, wherein the cycloalkyl, aryl, heteroaryl and heterocyclyl radicals are optionally substituted by 1-3 radicals of R<sub>2</sub>;

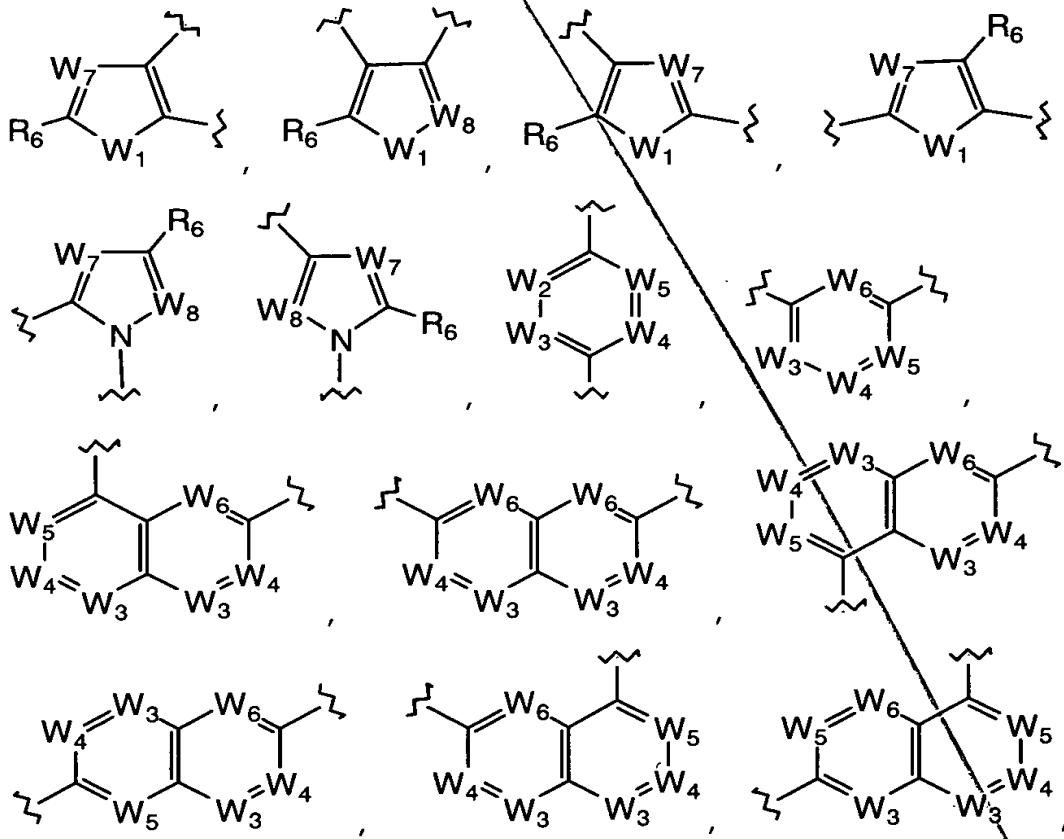
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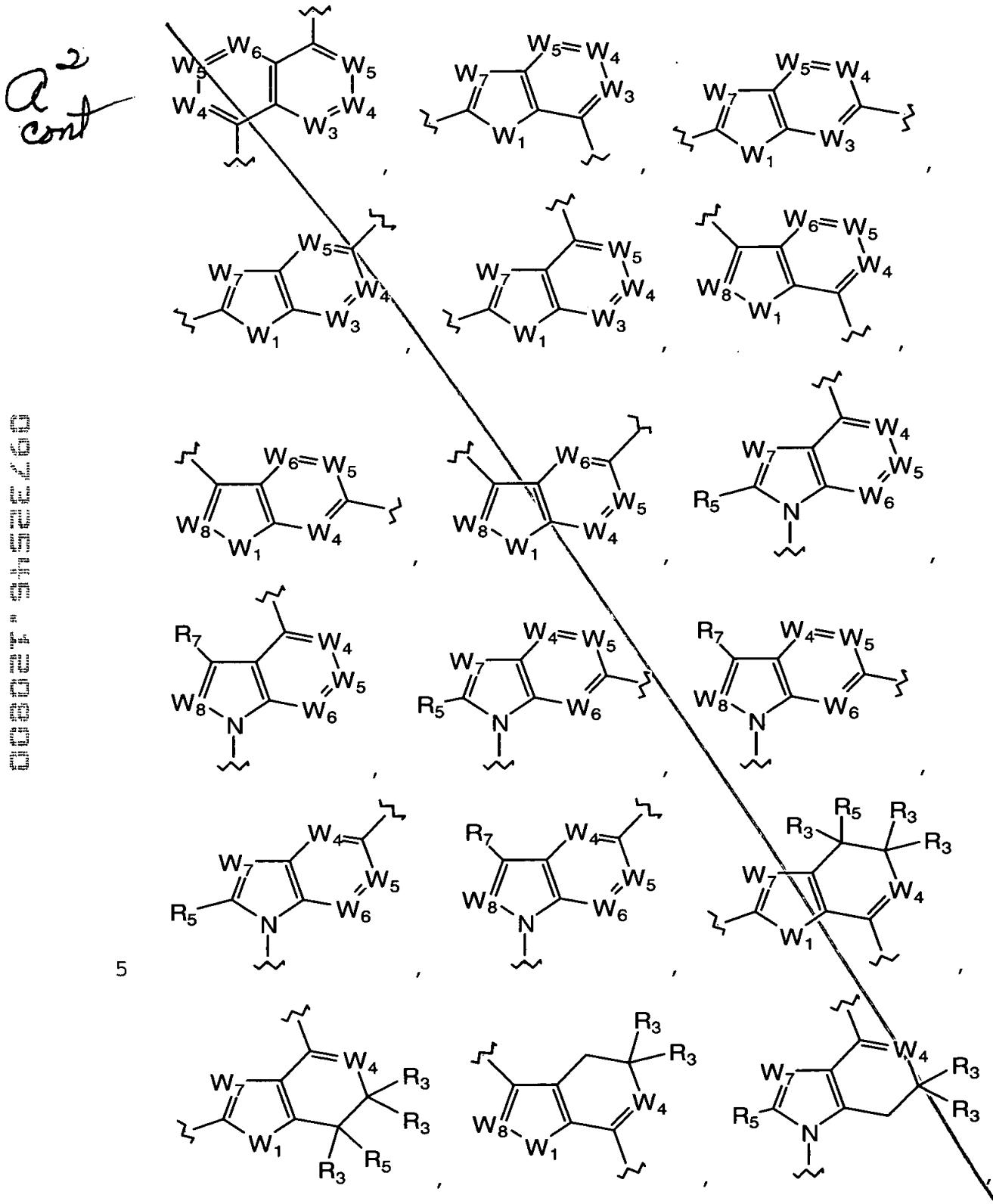
*R<sub>2</sub>*  
cont

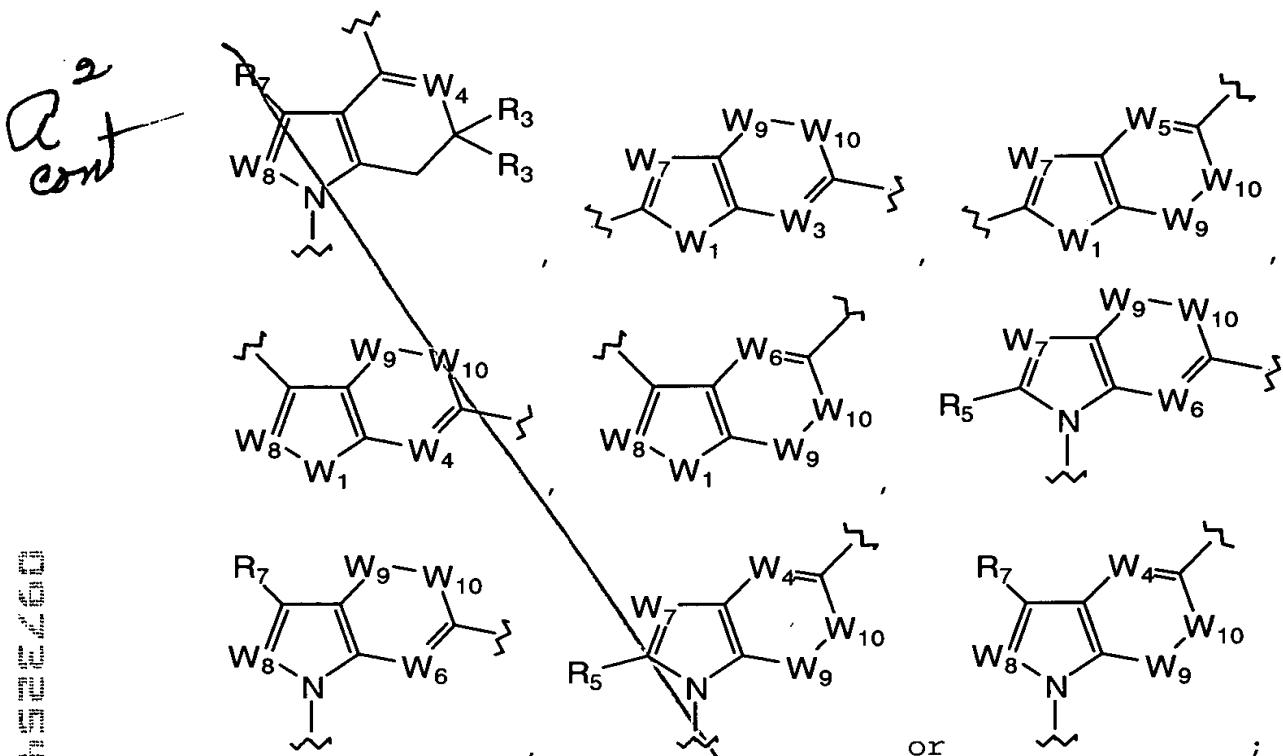
wherein R<sub>21</sub> and R<sub>22</sub> are each independently a radical of hydrogen, alkyl, haloalkyl, cycloalkyl, cycloalkyl-alkyl, aryl, aryl-alkyl, heteroaryl, heteroaryl-alkyl, heterocyclyl or heterocyclyl-alkyl, wherein the cycloalkyl, aryl, heteroaryl and heterocyclyl radicals are optionally substituted by 1-3 radicals of R<sub>2</sub>;

each R<sub>2</sub> is independently a halo, alkyl, alkoxy, alkylthio, haloalkyl, haloalkoxy, hydroxy, carboxy, cyano, azido, amidino, guanidino, nitro, amino, alkylamino or dialkylamino radical or two adjacent R<sub>2</sub> radicals on an aryl or heteroaryl radical represent a methylenedioxy, ethylenedioxy or propylenedioxy radical;

V represents a radical of formula





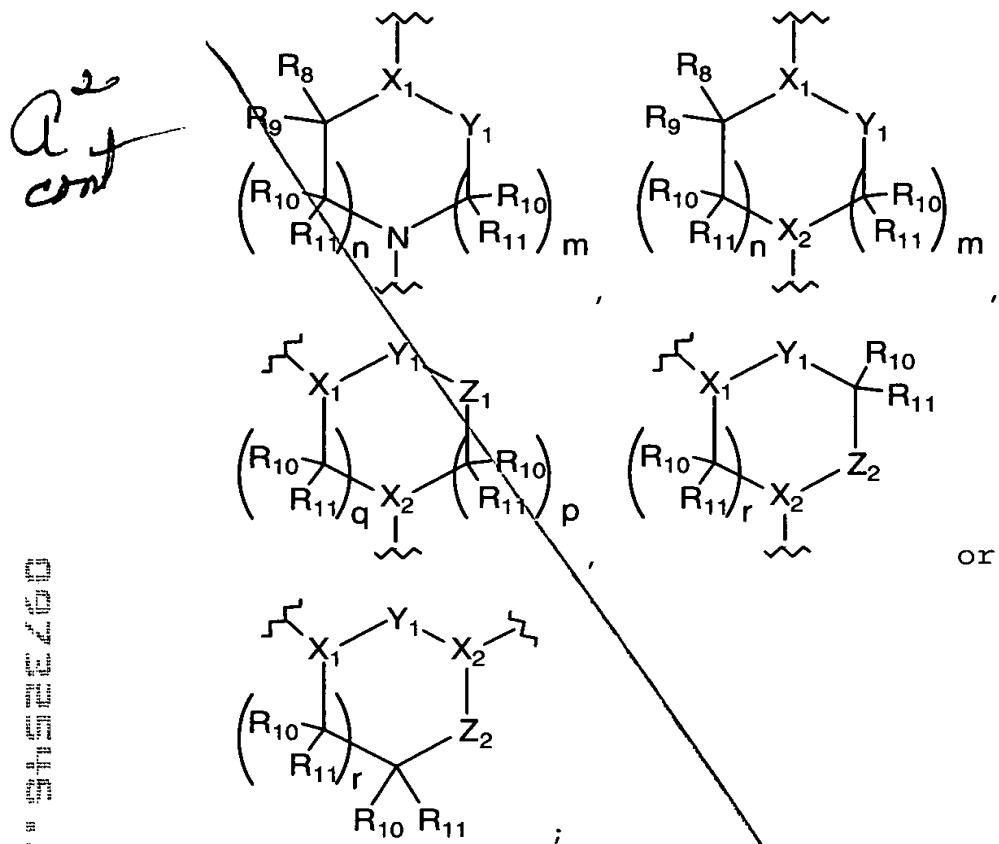


- 5 wherein  $W_1$  is O, S or  $N-R_3$ ; wherein each  $R_3$  is independently a hydrogen or alkyl radical;  $W_2$  is N or  $C-R_7$ ;  $W_8$  is N or  $C-R_5$ ;
- 10  $W_9$  is  $C(R_3)_2$  and  $W_{10}$  is  $W_1$ ; or  $W_9$  is  $CR_3R_5$  and  $W_{10}$  is  $C(R_3)_2$ ;
- each  $W_2$ ,  $W_3$ ,  $W_4$  and  $W_5$  are independently N or  $C-R_4$ ;  
provided the total number of cycloalkyl, aryl,  
heteroaryl, heterocyclyl, carboxy,  $-C(O)-O-R_{19}$ ,  $-C(O)-R_{19}$ ,  
 $-C(O)-NH-R_{19}$ ,  $-C(O)-N(R_{19})_2$  and  $-R_{19}$  radicals in  $W_2$ ,
- 15  $W_3$ ,  $W_4$  and  $W_5$  is 0-2;
- each  $W_6$  is independently N or C-H; provided that not more than two of  $W_2$ ,  $W_3$ ,  $W_4$ ,  $W_5$  and  $W_6$  represent N; and
- 20 each  $R_4$  is independently a hydrogen, halo, alkyl, alkoxy, alkylthio, haloalkyl, haloalkoxy, hydroxy,

- A<sup>2</sup>*  
*cont*
- cyano, carboxy,  $-C(O)-O-R_{19}$ ,  $-C(O)-R_{19}$ ,  $-C(O)-NH-R_{19}$ ,  
 $-C(O)-N(R_{19})_2$ , cycloalkyl, cycloalkyl-alkyl, aryl, aryl-  
alkyl, heteroaryl, heteroaryl-alkyl, heterocyclyl or  
heterocyclyl-alkyl radical, wherein the cycloalkyl,  
5 aryl, heteroaryl and heterocyclyl radicals are  
optionally substituted by 1-3 radicals of  $R_2$ ; or two  
adjacent  $R_2$  radicals taken together with the carbon  
atoms to which they are attached represent a fused-  
phenyl or fused-heteroaryl of 5-6 ring members, wherein  
10 the phenyl and heteroaryl radicals are optionally  
substituted by 1-3 radicals of  $R_2$ ;
- RECORDED AND INDEXED*
- $R_5$ ,  $R_6$  and  $R_7$  are each independently a hydrogen, halo,  
alkyl, alkoxy, alkylthio, haloalkyl, haloalkoxy,  
15 hydroxy or cyano radical; or  $R_5$  and  $R_6$  or  $R_6$  and  $R_7$  taken  
together with the carbon atoms to which they are  
attached represent a fused-phenyl or fused-heteroaryl  
of 6 ring members, wherein the phenyl and heteroaryl  
radicals are optionally substituted by 1-3 radicals of  
20  $R_2$ ; or  $R_3$  and  $R_6$  taken together with the carbon atoms to  
which they are attached represent a fused-heteroaryl of  
6 ring members optionally substituted by 1-3 radicals  
of  $R_2$ ;
- 25 A represents a radical of formula

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5 wherein X<sub>1</sub> is N or C-H;

X<sub>2</sub> is C-H, C-alkyl, a spirocycloalkyl or spiroheterocyclyl radical; wherein the spirocycloalkyl and spiroheterocyclyl radicals are optionally

10 substituted by an oxo or thioxo radical and 1-2 radicals of alkyl, haloalkyl, hydroxy, alkoxy or haloalkoxy;

Y<sub>1</sub> is -C(O)-, -C(S)-, -S(O)- or -S(O)<sub>2</sub>-;

15

Z<sub>1</sub> is O or N-R<sub>12</sub>;

Z<sub>2</sub> is O, S or N-R<sub>12</sub>;

*R<sub>2</sub>*  
cont

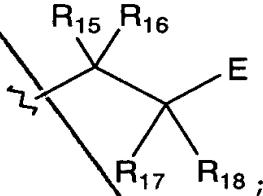
n and m are each independently 0, 1 or 2, provided n + m = 1, 2, 3 or 4;

5 p and q are each independently 0, 1 or 2, provided p + q = 1, 2 or 3;

r is 1 or 2;

10 R<sub>8</sub>, R<sub>9</sub>, R<sub>10</sub>, R<sub>11</sub> and R<sub>12</sub> are each independently a hydrogen or alkyl radical; or -CR<sub>8</sub>R<sub>9</sub>- represents a -C(O)-;

B represents a radical of formula



wherein (a) R<sub>15</sub> is a hydrogen or alkyl radical; and R<sub>17</sub>, 15 is (1) an aryl, heteroaryl, -NH-C(O)-R<sub>19</sub>, -C(O)-NH-R<sub>19</sub>, -NH-C(O)-NH-R<sub>19</sub>, -O-C(O)-NH-R<sub>19</sub>, -NH-C(O)-O-R<sub>19</sub>, -S(O)<sub>2</sub>-R<sub>19</sub>, -NH-S(O)<sub>2</sub>-R<sub>19</sub>, -S(O)<sub>2</sub>-NH-R<sub>19</sub> or -NH-S(O)<sub>2</sub>-NH-R<sub>19</sub>, radical, or (2) an alkyl radical substituted by a radical of aryl, heteroaryl, -NH-C(O)-R<sub>19</sub>, -C(O)-NH-R<sub>19</sub>, -NH-C(O)-NH-R<sub>19</sub>, -O-C(O)-NH-R<sub>19</sub>, -NH-C(O)-O-R<sub>19</sub>, -S(O)<sub>2</sub>-R<sub>19</sub>, -NH-S(O)<sub>2</sub>-R<sub>19</sub>, -S(O)<sub>2</sub>-NH-R<sub>19</sub> or -NH-S(O)<sub>2</sub>-NH-R<sub>19</sub>; 20 wherein the aryl and heteroaryl radicals are optionally substituted by 1-3 radicals of R<sub>2</sub>; or

25 (b) R<sub>17</sub> is a hydrogen or alkyl radical; and R<sub>15</sub> is (1) an aryl, heteroaryl, cycloalkyl, heterocyclyl, -NH-C(O)-R<sub>19</sub>, -C(O)-NH-R<sub>19</sub>, -NH-C(O)-NH-R<sub>19</sub>, -O-C(O)-NH-R<sub>19</sub>, -NH-C(O)-O-R<sub>19</sub>, -S(O)<sub>2</sub>-R<sub>19</sub>, -NH-S(O)<sub>2</sub>-R<sub>19</sub>, -S(O)<sub>2</sub>-NH-R<sub>19</sub> or -NH-S(O)<sub>2</sub>-NH-R<sub>19</sub>, radical, or (2) an alkyl radical 30 substituted by a radical of aryl, heteroaryl, cycloalkyl, heterocyclyl, -NH-C(O)-R<sub>19</sub>, -C(O)-NH-R<sub>19</sub>, -NH-C(O)-NH-R<sub>19</sub>, -O-C(O)-NH-R<sub>19</sub>, -NH-C(O)-O-R<sub>19</sub>, -S(O)<sub>2</sub>-

*Q<sup>2</sup>*  
*cont*

$R_{19}$ ,  $-NH-S(O)_2-R_{19}$ ,  $-S(O)_2-NH-R_{19}$  or  $-NH-S(O)_2-NH-R_{19}$ , radical; wherein the cycloalkyl, aryl, heteroaryl and heterocyclyl radicals are optionally substituted by 1-3 radicals of  $R_2$ ;

5

provided that when a nitrogen atom is attached to the carbon atom to which  $R_{15}$  is attached, then  $R_{15}$  is (1) an aryl, heteroaryl, cycloalkyl, heterocyclyl or  $-C(O)-NH-R_{19}$  radical, or (2) an alkyl radical substituted by a

10 radical of aryl, heteroaryl, cycloalkyl, heterocyclyl,  $-NH-C(O)-R_{19}$ ,  $-C(O)-NH-R_{19}$ ,  $-NH-C(O)-NH-R_{19}$ ,  $-O-C(O)-NH-R_{19}$ ,  $-NH-C(O)-O-R_{19}$ ,  $-S(O)_2-R_{19}$ ,  $-NH-S(O)_2-R_{19}$ ,  $-S(O)_2-NH-R_{19}$  or  $-NH-S(O)_2-NH-R_{19}$ ;

15 wherein  $R_{19}$  is a alkyl, cycloalkyl, cycloalkyl-alkyl, aryl, aryl-alkyl, heteroaryl, heteroaryl-alkyl, heterocyclyl or heterocyclyl-alkyl, wherein the cycloalkyl, aryl, heteroaryl and heterocyclyl radicals are optionally substituted by 1-3 radicals of  $R_2$ ;

20

$R_{16}$  and  $R_{18}$  are each independently a hydrogen or alkyl radical; and

25 E is a radical of carboxy, amido, tetrazolyl,  $-C(O)-O-R_{20}$ ,  $-C(O)-NH-R_{20}$ ,  $-C(O)-NH-S(O)-R_{20}$ ,  $-C(O)-NH-S(O)_2-R_{20}$  or  $-C(O)-NH-C(O)-R_{20}$ ;

wherein  $R_{20}$  is an alkyl, cycloalkyl, aryl, heteroaryl or heterocyclyl radical or an alkyl radical substituted by 1-3 radicals of halo, hydroxy, carboxy, amino, cycloalkyl, aryl, heteroaryl or heterocyclyl, wherein the cycloalkyl, aryl, heteroaryl and heterocyclyl radicals are optionally substituted by 1-3 radicals of  $R_2$ ; and

35

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cont

provided that when U represents amidino, guanidino, -C(Q)-NH-R<sub>1</sub> or -NH-C(Q)-NH-R<sub>1</sub> radical, wherein Q represents NH, N-CN or N-alkyl, then at least one of g, h or j is 1.

5

2. The compound of Claim 1 or a pharmaceutically acceptable salt thereof, wherein

10 each Alk is independently a C<sub>1</sub>-C<sub>12</sub> alkyl radical;

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U represents amidino, guanidino, -(G-(C<sub>1</sub>-C<sub>8</sub> alkyl))<sub>k</sub>-NH-R<sub>1</sub>, -(G-(C<sub>1</sub>-C<sub>8</sub> alkyl))<sub>k</sub>-NH-C(Q)-R<sub>1</sub>, -(G-(C<sub>1</sub>-C<sub>8</sub> alkyl))<sub>k</sub>-C(Q)-N(R)-R<sub>1</sub>, -(G-(C<sub>1</sub>-C<sub>8</sub> alkyl))<sub>k</sub>-NH-C(Q)-N(R)-R<sub>1</sub>, -(G-

15 (C<sub>1</sub>-C<sub>8</sub> alkyl))<sub>k</sub>-NH-C(Q)-O-R<sub>1</sub> or -(G-(C<sub>1</sub>-C<sub>8</sub> alkyl))<sub>k</sub>-O-C(Q)-N(R)-R<sub>1</sub> radical; or U represents a hydroxy(C<sub>1</sub>-C<sub>12</sub> alkyl)-G- radical which is optionally substituted by a C<sub>3</sub>-C<sub>8</sub> cycloalkyl, aryl, heteroaryl of 5-10 ring members or heterocyclyl of 5-8 ring members, wherein the 20 cycloalkyl, aryl, heteroaryl and heterocyclyl radicals are optionally substituted by 1-3 radicals of R<sub>2</sub>;

Q represents O, S, NH, N-CN or N-(C<sub>1</sub>-C<sub>8</sub> alkyl);

25 R is a radical of hydrogen or C<sub>1</sub>-C<sub>8</sub> alkyl;

R<sub>1</sub> is a radical of C<sub>1</sub>-C<sub>8</sub> alkyl, halo(C<sub>1</sub>-C<sub>8</sub> alkyl) of 1-7 halo radicals, R<sub>21</sub>R<sub>22</sub>N-(C<sub>1</sub>-C<sub>8</sub> alkyl), R<sub>21</sub>O-(C<sub>1</sub>-C<sub>8</sub> alkyl), R<sub>21</sub>S-(C<sub>1</sub>-C<sub>8</sub> alkyl), C<sub>3</sub>-C<sub>8</sub> cycloalkyl, C<sub>3</sub>-C<sub>8</sub> cycloalkyl(C<sub>1</sub>-C<sub>8</sub> alkyl), aryl, aryl(C<sub>1</sub>-C<sub>8</sub> alkyl), heteroaryl of 5-10 ring members, heteroaryl(C<sub>1</sub>-C<sub>8</sub> alkyl) of 5-10 ring members, heterocyclyl of 5-8 ring members or heterocyclyl(C<sub>1</sub>-C<sub>8</sub> alkyl) of 5-8 ring members, wherein the cycloalkyl, aryl, heteroaryl and heterocyclyl radicals are 35 optionally substituted by 1-3 radicals of R<sub>2</sub>;

- wherein  $R_{21}$  and  $R_{22}$  are each independently a radical of hydrogen,  $C_1-C_8$  alkyl, halo( $C_1-C_8$  alkyl) of 1-7 halo radicals,  $C_3-C_8$  cycloalkyl,  $C_3-C_8$  cycloalkyl( $C_1-C_8$  alkyl), aryl, aryl( $C_1-C_8$  alkyl), heteroaryl of 5-10 ring members, heteroaryl( $C_1-C_8$  alkyl) of 5-10 ring members, heterocyclyl of 5-8 ring members or heterocyclyl( $C_1-C_8$  alkyl) of 5-8 ring members, wherein the cycloalkyl, aryl, heteroaryl and heterocyclyl radicals are optionally substituted by 1-3 radicals of  $R_2$ ;
- each  $R_2$  is independently a halo,  $C_1-C_6$  alkyl,  $C_1-C_6$  alkoxy,  $C_1-C_6$  alkylthio, halo( $C_1-C_4$  alkyl) of 1-5 halo radicals, halo( $C_1-C_4$  alkoxy) of 1-5 halo radicals, hydroxy, carboxy, cyano, azido, amidino, guanidino, nitro, amino,  $C_1-C_8$  alkylamino or di( $C_1-C_8$  alkyl)amino radical or two adjacent  $R_2$  radicals on an aryl or heteroaryl radical represent a methylenedioxy, ethylenedioxy or propylenedioxy radical;
- each  $R_3$  is independently a hydrogen or  $C_1-C_6$  alkyl radical;
- each  $R_4$  is independently a hydrogen, halo,  $C_1-C_6$  alkyl,  $C_1-C_6$  alkoxy,  $C_1-C_6$  alkylthio, halo( $C_1-C_4$  alkyl) of 1-5 halo radicals, halo( $C_1-C_4$  alkoxy) of 1-5 halo radicals, hydroxy, cyano, carboxy,  $-C(O)-O-R_{19}$ ,  $-C(O)-R_{19}$ ,  $-C(O)-NH-R_{19}$ ,  $-C(O)-N(R_{19})_2$ ,  $C_3-C_6$  cycloalkyl,  $C_3-C_6$  cycloalkyl( $C_1-C_4$  alkyl), aryl, aryl( $C_1-C_4$  alkyl), heteroaryl of 5-10 ring members, heteroaryl( $C_1-C_4$  alkyl) of 5-10 ring members, heterocyclyl of 5-8 ring members or heterocyclyl( $C_1-C_4$  alkyl) of 5-8 ring members radical, wherein the cycloalkyl, aryl, heteroaryl and heterocyclyl radicals are optionally substituted by 1-3 radicals of  $R_2$ ; or two adjacent  $R_4$  radicals taken together with the carbon atoms to which they are attached represent a fused-phenyl or fused-heteroaryl

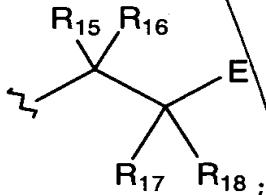
of 5-6 ring members, wherein the phenyl and heteroaryl radicals are optionally substituted by 1-3 radicals of R<sub>2</sub>;

- 5 R<sub>5</sub>, R<sub>6</sub> and R<sub>7</sub> are each independently a hydrogen, halo, C<sub>1</sub>-C<sub>6</sub> alkyl, C<sub>1</sub>-C<sub>6</sub> alkoxy, C<sub>1</sub>-C<sub>6</sub> alkylthio, halo(C<sub>1</sub>-C<sub>4</sub> alkyl) of 1-5 halo radicals, halo(C<sub>1</sub>-C<sub>4</sub> alkoxy) of 1-5 halo radicals, hydroxy or cyano radical; or R<sub>5</sub> and R<sub>6</sub> or R<sub>6</sub> and R<sub>7</sub> taken together with the carbon atoms to which they are attached represent a fused-phenyl or fused-heteroaryl of 6 ring members, wherein the phenyl and heteroaryl radicals are optionally substituted by 1-3 radicals of R<sub>2</sub>; or R<sub>3</sub> and R<sub>6</sub> taken together with the carbon atoms to which they are attached represent a fused-heteroaryl of 6 ring members optionally substituted by 1-3 radicals of R<sub>2</sub>;

- X<sub>2</sub> is C-H, C-(C<sub>1</sub>-C<sub>4</sub> alkyl), a C<sub>3</sub>-C<sub>8</sub> spirocycloalkyl or spiroheterocyclyl of 5-8 ring members radical; wherein the spirocycloalkyl and spiroheterocyclyl radicals are optionally substituted by an oxo or thioxo radical and 1-2 radicals of C<sub>1</sub>-C<sub>6</sub> alkyl, halo(C<sub>1</sub>-C<sub>4</sub> alkyl) of 1-5 halo radicals, hydroxy, C<sub>1</sub>-C<sub>6</sub> alkoxy or halo(C<sub>1</sub>-C<sub>4</sub> alkoxy) of 1-5 halo radicals;

- 25 R<sub>8</sub>, R<sub>9</sub>, R<sub>10</sub>, R<sub>11</sub> and R<sub>12</sub> are each independently a hydrogen or C<sub>1</sub>-C<sub>6</sub> alkyl radical; or -CR<sub>8</sub>R<sub>9</sub>- represents a -C(O)-;

B represents a radical of formula



- 30 wherein (a) R<sub>15</sub> is a hydrogen or C<sub>1</sub>-C<sub>6</sub> alkyl radical; and R<sub>17</sub> is (1) an aryl, heteroaryl of 5-10 ring members, -

- SUB  
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- ~~NH-C(O)-R<sub>19</sub>, -C(O)-NH-R<sub>19</sub>, -NH-C(O)-NH-R<sub>19</sub>, -O-C(O)-NH-R<sub>19</sub>,~~  
~~-NH-C(O)-O-R<sub>19</sub>, -S(O)<sub>2</sub>-R<sub>19</sub>, -NH-S(O)<sub>2</sub>-R<sub>19</sub>, -S(O)<sub>2</sub>-NH-R<sub>19</sub> or~~  
~~-NH-S(O)<sub>2</sub>-NH-R<sub>19</sub> radical, or (2) an C<sub>1</sub>-C<sub>6</sub> alkyl radical~~  
~~substituted by a radical of aryl, heteroaryl of 5-10~~  
**5** ~~ring members, -NH-C(O)-R<sub>19</sub>, -C(O)-NH-R<sub>19</sub>, -NH-C(O)-NH-R<sub>19</sub>,~~  
~~-O-C(O)-NH-R<sub>19</sub>, -NH-C(O)-O-R<sub>19</sub>, -S(O)<sub>2</sub>-R<sub>19</sub>, -NH-S(O)<sub>2</sub>-R<sub>19</sub>,~~  
~~-S(O)<sub>2</sub>-NH-R<sub>19</sub>, or -NH-S(O)<sub>2</sub>-NH-R<sub>19</sub>; wherein the aryl and~~  
~~heteroaryl radicals are optionally substituted by 1-3~~  
~~radicals of R<sub>2</sub>; or~~  
**10**  
~~(b) R<sub>17</sub> is a hydrogen or C<sub>1</sub>-C<sub>6</sub> alkyl radical; and R<sub>15</sub> is~~  
~~(1) an aryl, heteroaryl of 5-10 ring members, C<sub>3</sub>-C<sub>8</sub>~~  
~~cycloalkyl, heterocyclyl of 5-8 ring members, -NH-C(O)-~~  
~~R<sub>19</sub>, -C(O)-NH-R<sub>19</sub>, -NH-C(O)-NH-R<sub>19</sub>, -O-C(O)-NH-R<sub>19</sub>, -NH-~~  
**15** ~~C(O)-O-R<sub>19</sub>, -S(O)<sub>2</sub>-R<sub>19</sub>, -NH-S(O)<sub>2</sub>-R<sub>19</sub>, -S(O)<sub>2</sub>-NH-R<sub>19</sub> or -NH-~~  
~~S(O)<sub>2</sub>-NH-R<sub>19</sub> radical, or (2) an C<sub>1</sub>-C<sub>4</sub> alkyl radical~~  
~~substituted by a radical of aryl, heteroaryl of 5-10~~  
~~ring members, C<sub>3</sub>-C<sub>8</sub> cycloalkyl, heterocyclyl of 5-8 ring~~  
~~members, -NH-C(O)-R<sub>19</sub>, -C(O)-NH-R<sub>19</sub>, -NH-C(O)-NH-R<sub>19</sub>, -O-~~  
**20** ~~C(O)-NH-R<sub>19</sub>, -NH-C(O)-O-R<sub>19</sub>, -S(O)<sub>2</sub>-R<sub>19</sub>, -NH-S(O)<sub>2</sub>-R<sub>19</sub>,~~  
~~-S(O)<sub>2</sub>-NH-R<sub>19</sub> or -NH-S(O)<sub>2</sub>-NH-R<sub>19</sub> radical; wherein the~~  
~~cycloalkyl, aryl, heteroaryl and heterocyclyl radicals~~  
~~are optionally substituted by 1-3 radicals of R<sub>2</sub>;~~  
**25** provided that when a nitrogen atom is attached to the  
carbon atom to which R<sub>15</sub> is attached, then R<sub>15</sub> is (1) an  
aryl, heteroaryl, cycloalkyl, heterocyclyl or -C(O)-NH-  
R<sub>19</sub> radical, or (2) an alkyl radical substituted by a  
radical of aryl, heteroaryl, cycloalkyl, heterocyclyl,  
**30** -NH-C(O)-R<sub>19</sub>, -C(O)-NH-R<sub>19</sub>, -NH-C(O)-NH-R<sub>19</sub>, -O-C(O)-NH-  
R<sub>19</sub>, -NH-C(O)-O-R<sub>19</sub>, -S(O)<sub>2</sub>-R<sub>19</sub>, -NH-S(O)<sub>2</sub>-R<sub>19</sub>, -S(O)<sub>2</sub>-NH-R<sub>19</sub>,  
or -NH-S(O)<sub>2</sub>-NH-R<sub>19</sub>;  
  
wherein R<sub>19</sub> is a C<sub>1</sub>-C<sub>6</sub> alkyl, C<sub>3</sub>-C<sub>8</sub> cycloalkyl, C<sub>3</sub>-C<sub>8</sub>  
**35** cycloalkyl(C<sub>1</sub>-C<sub>6</sub> alkyl), aryl, aryl(C<sub>1</sub>-C<sub>6</sub> alkyl),  
heteroaryl of 5-10 ring members, heteroaryl(C<sub>1</sub>-C<sub>6</sub> alkyl)

of 5-10 ring members, heterocyclyl of 5-8 ring members or heterocyclyl(C<sub>1</sub>-C<sub>6</sub> alkyl) of 5-8 ring members, wherein the cycloalkyl, aryl, heteroaryl and heterocyclyl radicals are optionally substituted by 1-3 radicals of R<sub>2</sub>;

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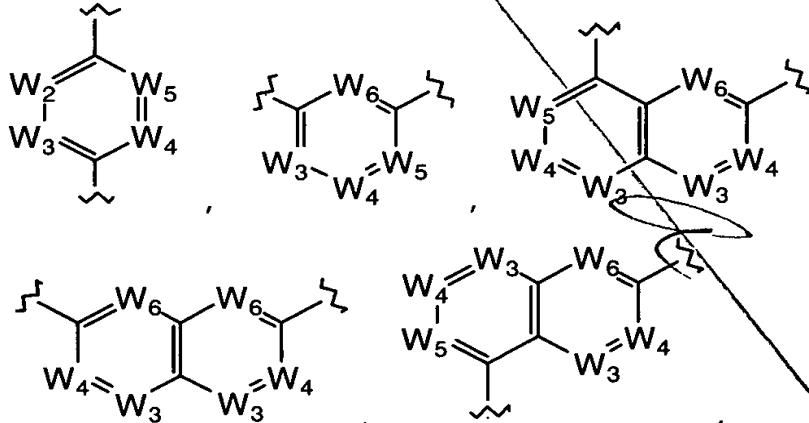
R<sub>16</sub> and R<sub>18</sub> are each independently a hydrogen or C<sub>1</sub>-C<sub>6</sub> alkyl radical; and

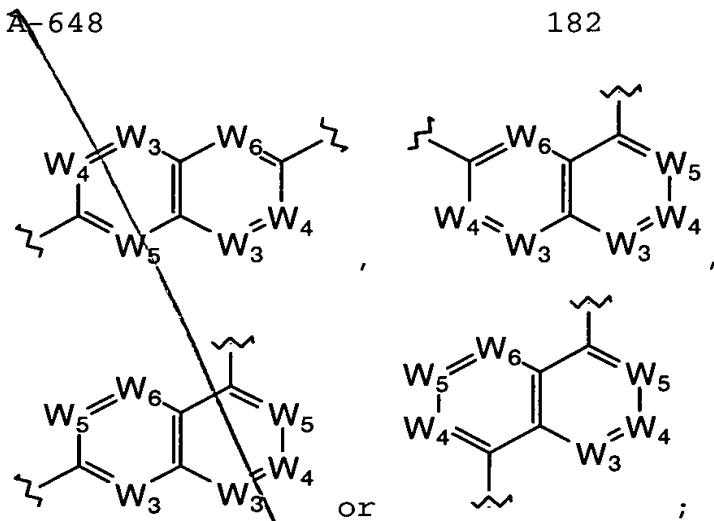
- 10 R<sub>20</sub> is a C<sub>1</sub>-C<sub>6</sub> alkyl, C<sub>3</sub>-C<sub>8</sub> cycloalkyl, aryl, heteroaryl of 5-10 ring members or heterocyclyl of 5-8 ring members radical or a C<sub>1</sub>-C<sub>6</sub> alkyl radical substituted by 1-3 radicals of halo, hydroxy, carboxy, amino, C<sub>3</sub>-C<sub>8</sub> cycloalkyl, aryl, heteroaryl of 5-10 ring members or heterocyclyl of 5-8 ring members, wherein the cycloalkyl, aryl, heteroaryl and heterocyclyl radicals are optionally substituted by 1-3 radicals of R<sub>2</sub>.

- 20 3. The compound of Claim 2 or a pharmaceutically acceptable salt thereof, wherein

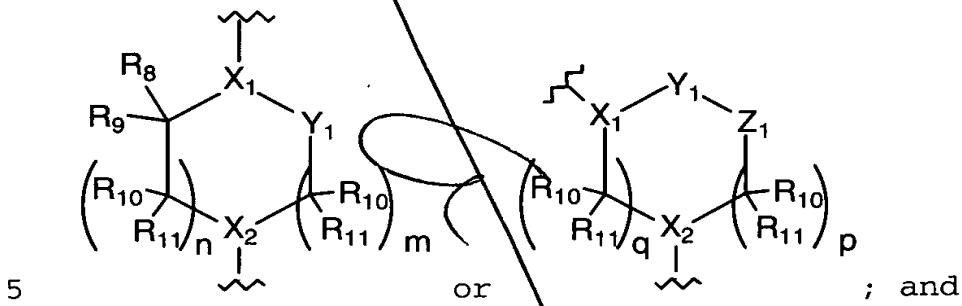
each Alk is independently a C<sub>1</sub>-C<sub>8</sub> alkyl radical;

- 25 V represents a radical of formula





A represents a radical of formula

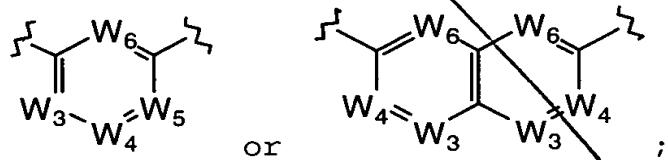


Y<sub>1</sub> is -C(O)- or -C(S)-.

10 4. The compound of Claim 3 or a pharmaceutically acceptable salt thereof, wherein

*para*  
3 each Alk is independently a C<sub>1</sub>-C<sub>6</sub> alkyl radical;

15 V represents a radical of formula



X<sub>2</sub> is C-H or C-(methyl) radical;

*Q 3*  
cont

$Y_1$  is  $-C(O)-$ ; and

5  $R_8$ ,  $R_9$ ,  $R_{10}$ ,  $R_{11}$  and  $R_{12}$  are each independently a hydrogen or methyl radical; or  $-CR_8R_9-$  represents a  $-C(O)-$ .

10 5. The compound of Claim 4 or a pharmaceutically acceptable salt thereof, wherein

each Alk is independently a  $C_1-C_4$  alkyl radical;

15  $U$  represents amidino, guanidino,  $-(G-(C_1-C_8\text{ alkyl}))_k-NH-$   
 $R_1$ ,  $-(G-(C_1-C_8\text{ alkyl}))_k-NH-C(Q)-R_1$ ,  $-(G-(C_1-C_8\text{ alkyl}))_k-$

20  $C(Q)-N(R)-R_1$ ,  $-(G-(C_1-C_8\text{ alkyl}))_k-NH-C(Q)-N(R)-R_1$  or  $-(G-(C_1-C_8\text{ alkyl}))_k-NH-C(Q)-O-R_1$  radical;

$G$  represents a bond, O or NH;

25  $Q$  represents O, S, NH, N-CN or  $N-(C_1-C_4\text{ alkyl})$ ;

$R$  is a radical of hydrogen or  $C_1-C_4$  alkyl;

30  $R_1$  is a radical of  $C_1-C_6$  alkyl, halo( $C_1-C_6$  alkyl) of 1-5 halo radicals,  $R_{21}R_{22}N-(C_1-C_6\text{ alkyl})$ ,  $R_{21}O-(C_1-C_6\text{ alkyl})$ ,  $C_3-C_8$  cycloalkyl,  $C_3-C_8$  cycloalkyl( $C_1-C_6\text{ alkyl}$ ), aryl, aryl( $C_1-C_6\text{ alkyl}$ ), heteroaryl of 5-10 ring members, heteroaryl( $C_1-C_6\text{ alkyl}$ ) of 5-10 ring members, heterocyclyl of 5-8 ring members or heterocyclyl( $C_1-C_6\text{ alkyl}$ ) of 5-8 ring members, wherein the cycloalkyl, aryl, heteroaryl and heterocyclyl radicals are optionally substituted by 1-3 radicals of  $R_2$ ;

35  $R_{21}$  and  $R_{22}$  are each independently a radical of hydrogen,  $C_1-C_8$  alkyl, aryl, aryl( $C_1-C_4\text{ alkyl}$ ), heteroaryl of 5-10 ring members or heteroaryl( $C_1-C_4\text{ alkyl}$ ) of 5-10 ring

*a3*  
*Cont*

members, wherein the aryl and heteroaryl radicals are optionally substituted by 1-3 radicals of R<sub>2</sub>;

- each R<sub>2</sub> is independently a halo, C<sub>1</sub>-C<sub>4</sub> alkyl, C<sub>1</sub>-C<sub>4</sub> alkoxy, C<sub>1</sub>-C<sub>4</sub> alkylthio, halo(C<sub>1</sub>-C<sub>2</sub> alkyl) of 1-5 halo radicals, halo(C<sub>1</sub>-C<sub>2</sub> alkoxy) of 1-5 halo radicals, hydroxy, carboxy, cyano, azido, amidino, guanidino, nitro, amino, C<sub>1</sub>-C<sub>4</sub> alkylamino or di(C<sub>1</sub>-C<sub>4</sub> alkyl)amino radical or two adjacent R<sub>2</sub> radicals on an aryl or heteroaryl radical represent a methylenedioxy, ethylenedioxy or propylenedioxy radical;
- each W<sub>6</sub> is C-H;
- each R<sub>4</sub> is independently a hydrogen, halo, C<sub>1</sub>-C<sub>4</sub> alkyl, C<sub>1</sub>-C<sub>4</sub> alkoxy, C<sub>1</sub>-C<sub>4</sub> alkylthio, halo(C<sub>1</sub>-C<sub>2</sub> alkyl) of 1-5 halo radicals, halo(C<sub>1</sub>-C<sub>2</sub> alkoxy) of 1-5 halo radicals, hydroxy, cyano, carboxy, -C(O)-O-R<sub>19</sub>, -C(O)-R<sub>19</sub>, -C(O)-NH-R<sub>19</sub>, -C(O)-N(R<sub>19</sub>)<sub>2</sub>, C<sub>3</sub>-C<sub>6</sub> cycloalkyl, C<sub>3</sub>-C<sub>6</sub> cycloalkyl(C<sub>1</sub>-C<sub>4</sub> alkyl), aryl, aryl(C<sub>1</sub>-C<sub>4</sub> alkyl), heteroaryl of 5-10 ring members, heteroaryl(C<sub>1</sub>-C<sub>4</sub> alkyl) of 5-10 ring members, heterocyclyl of 5-8 ring members or heterocyclyl(C<sub>1</sub>-C<sub>4</sub> alkyl) of 5-8 ring members radical, wherein the cycloalkyl, aryl, heteroaryl and heterocyclyl radicals are optionally substituted by 1-3 radicals of R<sub>2</sub>; and
- R<sub>20</sub> is a C<sub>1</sub>-C<sub>4</sub> alkyl, aryl or heteroaryl of 5-10 ring members or a C<sub>1</sub>-C<sub>4</sub> alkyl radical substituted by 1-3 radicals of halo, hydroxy, carboxy, amino, aryl, heteroaryl of 5-10 ring members or heterocyclyl of 5-8 ring members, wherein the aryl, heteroaryl and heterocyclyl radicals are optionally substituted by 1-3 radicals of R<sub>2</sub>.

6. The compound of Claim 5 or a pharmaceutically acceptable salt thereof, wherein

U represents amidino, guanidino,  $-(G-(C_1-C_8\text{ alkyl}))_k-NH-$   
5  $R_1$ ,  $-NH-C(Q)-R_1$ ,  $-(G-(C_1-C_8\text{ alkyl}))_k-C(Q)-N(R)-R_1$ ,  $-NH-$   
 $C(Q)-N(R)-R_1$  or  $-NH-C(Q)-O-R_1$  radical;

Q represents O or NH;

10 R is a radical of hydrogen or  $C_1-C_2$  alkyl;

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B4  
R<sub>1</sub> is a radical of  $C_1-C_6$  alkyl, halo( $C_1-C_6$  alkyl) of 1-5  
halo radicals,  $R_{21}R_{22}N-(C_1-C_4$  alkyl),  $R_{21}O-(C_1-C_4$  alkyl),  
15  $C_3-C_8$  cycloalkyl,  $C_3-C_8$  cycloalkyl( $C_1-C_4$  alkyl), aryl,  
aryl( $C_1-C_4$  alkyl), heteroaryl of 5-10 ring members,  
heteroaryl( $C_1-C_4$  alkyl) of 5-10 ring members,  
heterocyclyl of 5-8 ring members or heterocyclyl( $C_1-C_4$   
alkyl) of 5-8 ring members, wherein the cycloalkyl,  
aryl, heteroaryl and heterocyclyl radicals are  
20 optionally substituted by 1-3 radicals of  $R_2$ ;

25  $R_{21}$  and  $R_{22}$  are each independently a radical of hydrogen,  
 $C_1-C_6$  alkyl, aryl or heteroaryl of 5-10 ring members,  
wherein the aryl and heteroaryl radicals are optionally  
substituted by 1-3 radicals of  $R_2$ ;

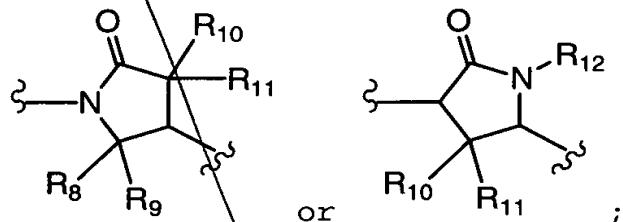
each  $R_2$  is independently a halo,  $C_1-C_2$  alkyl,  $C_1-C_2$   
alkoxy,  $C_1-C_2$  alkylthio,  $CF_3-$ ,  $CF_3O-$ , hydroxy, carboxy,  
cyano, azido, amidino, guanidino, nitro, amino,  $C_1-C_2$   
30 alkylamino or di( $C_1-C_2$  alkyl)amino radical or two  
adjacent  $R_2$  radicals on an aryl or heteroaryl radical  
represent a methylenedioxy, ethylenedioxy or  
propylenedioxy radical;

35 each  $W_2$ ,  $W_3$ ,  $W_4$  and  $W_5$  are independently  $C-R_4$ ;

each R<sub>4</sub> is independently a hydrogen, halo, C<sub>1</sub>-C<sub>4</sub> alkyl, C<sub>1</sub>-C<sub>4</sub> alkoxy, C<sub>1</sub>-C<sub>4</sub> alkylthio, halo(C<sub>1</sub>-C<sub>2</sub> alkyl) of 1-5 halo radicals, halo(C<sub>1</sub>-C<sub>2</sub> alkoxy) of 1-5 halo radicals, hydroxy or cyano radical;

5

A represents a radical of formula



- (a) R<sub>15</sub> is a hydrogen or C<sub>1</sub>-C<sub>2</sub> alkyl radical; and R<sub>17</sub> is -NH-C(O)-R<sub>19</sub>, -NH-C(O)-NH-R<sub>19</sub>, -NH-C(O)-O-R<sub>19</sub>, -NH-S(O)<sub>2</sub>-R<sub>19</sub>, or -NH-S(O)<sub>2</sub>-NH-R<sub>19</sub>, radical; or (b) R<sub>17</sub> is a hydrogen or C<sub>1</sub>-C<sub>2</sub> alkyl radical; and R<sub>15</sub> is (1) an aryl, heteroaryl of 5-10 ring members, C<sub>3</sub>-C<sub>8</sub> cycloalkyl or heterocyclyl of 5-8 ring members radical, or (2) an C<sub>1</sub>-C<sub>2</sub> alkyl radical substituted by a radical of aryl, heteroaryl of 5-10 ring members, C<sub>3</sub>-C<sub>8</sub> cycloalkyl or heterocyclyl of 5-8 ring members radical; wherein the cycloalkyl, aryl, heteroaryl and heterocyclyl radicals are optionally substituted by 1-3 radicals of R<sub>2</sub>;
- R<sub>19</sub> is a C<sub>1</sub>-C<sub>4</sub> alkyl, aryl, aryl(C<sub>1</sub>-C<sub>4</sub> alkyl), heteroaryl of 5-10 ring members or heteroaryl(C<sub>1</sub>-C<sub>4</sub> alkyl) of 5-10 ring members, wherein the aryl and heteroaryl radicals are optionally substituted by 1-3 radicals of R<sub>2</sub>;
- R<sub>16</sub> and R<sub>18</sub> are each independently a hydrogen or C<sub>1</sub>-C<sub>4</sub> alkyl radical;
- E is a radical of carboxy, amido, tetrazolyl or -C(O)-O-R<sub>20</sub>; and

*Sub  
By*

~~R<sub>20</sub> is a C<sub>1</sub>-C<sub>2</sub> alkyl, aryl or heteroaryl of 5-10 ring members or a C<sub>1</sub>-C<sub>2</sub> alkyl radical substituted by 1-3 radicals of halo, hydroxy, carboxy, aryl or heteroaryl of 5-10 ring members, wherein the aryl and heteroaryl radicals are optionally substituted by 1-3 radicals of R<sub>2</sub>.~~

7. The compound of Claim 6 or a pharmaceutically acceptable salt thereof, wherein

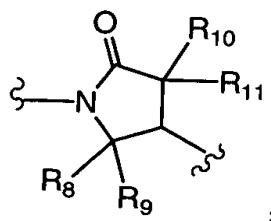
10 Alk is independently a C<sub>1</sub>-C<sub>2</sub> alkyl radical;

15 G represents a bond or NH;

20 R<sub>21</sub> and R<sub>22</sub> are each independently a radical of hydrogen, C<sub>1</sub>-C<sub>6</sub> alkyl or aryl, wherein the aryl and heteroaryl radicals are optionally substituted by 1-3 radicals of R<sub>2</sub>;

25 each R<sub>4</sub> is independently a hydrogen, halo, C<sub>1</sub>-C<sub>2</sub> alkyl, C<sub>1</sub>-C<sub>2</sub> alkoxy, C<sub>1</sub>-C<sub>2</sub> alkylthio, CF<sub>3</sub>-, CF<sub>3</sub>O-, hydroxy or cyano radical;

30 A represents a radical of formula



(a) R<sub>15</sub> is a hydrogen or C<sub>1</sub>-C<sub>2</sub> alkyl radical; and R<sub>17</sub> is -NH-C(O)-O-R<sub>19</sub>, or -NH-S(O)<sub>2</sub>-R<sub>19</sub> radical; or (b) R<sub>17</sub> is a hydrogen or C<sub>1</sub>-C<sub>2</sub> alkyl radical; and R<sub>15</sub> is (1) an aryl or heteroaryl of 5-10 ring members, or (2) an C<sub>1</sub>-C<sub>2</sub>

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alkyl radical substituted by a radical of aryl or heteroaryl of 5-10 ring members; wherein the aryl and heteroaryl radicals are optionally substituted by 1-3 radicals of R<sub>2</sub>;

5

R<sub>19</sub> is a C<sub>1</sub>-C<sub>4</sub> alkyl, aryl or aryl(C<sub>1</sub>-C<sub>4</sub> alkyl), wherein the aryl radicals are optionally substituted by 1-3 radicals of R<sub>2</sub>;

10 R<sub>16</sub> and R<sub>18</sub> are each independently a hydrogen or C<sub>1</sub>-C<sub>2</sub> alkyl radical;

E is a radical of carboxy or -C(O)-O-R<sub>20</sub>; and

15 R<sub>20</sub> is a C<sub>1</sub>-C<sub>2</sub> alkyl, aryl or aryl(C<sub>1</sub>-C<sub>2</sub> alkyl) radical, wherein the aryl radicals are optionally substituted by 1-3 radicals of R<sub>2</sub>.

20 8. A pharmaceutical composition comprising a compound according to any of Claims 1 to 7 and a pharmaceutically acceptable carrier.

25 9. A method for the treatment of a disease or disorder modulated by an integrin receptor comprising administering an effective amount of a compound according to any of Claims 1 to 7.

30 10. The method of Claim 9 wherein the integrin receptor is vitronectin receptor α<sub>v</sub>β<sub>3</sub>, α<sub>v</sub>β<sub>5</sub> or α<sub>v</sub>β<sub>6</sub>.

35 11. A method for the treatment of a disease or disorder modulated by an integrin receptor comprising administering an effective amount of a composition of Claim 8.

12. The method of Claim 11 wherein the an integrin receptor is vitronectin receptor  $\alpha_v\beta_3$ ,  $\alpha_v\beta_5$  or  $\alpha_v\beta_6$ .

5       13. A method of antagonizing an integrin receptor comprising administering an effective amount of a compound according to any of Claims 1 to 7.

10      14. The method of Claim 13 wherein the an integrin receptor is vitronectin receptor  $\alpha_v\beta_3$ ,  $\alpha_v\beta_5$  or  $\alpha_v\beta_6$ .

15      15. A method of antagonizing an integrin receptor comprising administering an effective amount of a composition of Claim 8.

15      16. The method of Claim 15 wherein the an integrin receptor is vitronectin receptor  $\alpha_v\beta_3$ ,  $\alpha_v\beta_5$  or  $\alpha_v\beta_6$ .

20      17. A method for the treatment of atherosclerosis, restenosis, inflammation, wound healing, cancer, metastasis, bone resorption related diseases, diabetic retinopathy, macular degeneration, angiogenesis or viral infections comprising administering an effective amount of a compound according to any of Claims 1 to 7.

30      18. A method for the treatment of atherosclerosis, restenosis, inflammation, wound healing, cancer, metastasis, bone resorption related diseases, diabetic retinopathy, macular degeneration, angiogenesis or viral infections comprising administering an effective amount of a composition of Claim 8.